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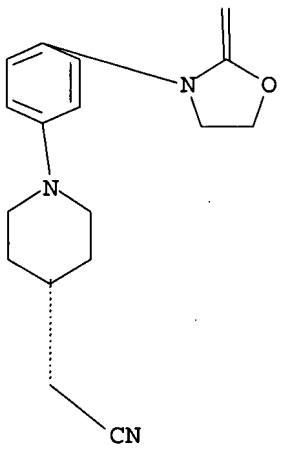


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L3   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2007:226910  CAPLUS
DOCUMENT NUMBER:          146:295903
TITLE:                    Preparation of oxazolidinones possessing antimicrobial
                          activity and pharmaceutical compositions thereof
INVENTOR(S):              Sindkhedkar, Milind D.; Bhavsar, Satish B.; Patil,
                          Vijaykumar J.; Deshpande, Prasad K.; Patel, Mahesh V.
PATENT ASSIGNEE(S):       India
SOURCE:                   PCT Int. Appl., 210pp.
                          CODEN: PIXXD2
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DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007023507	A2	20070301	WO 2006-IN208	20060619

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2005-MU723 A 20050620
OTHER SOURCE(S): MARPAT 146:295903
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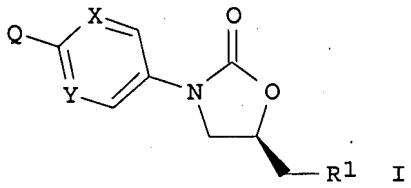

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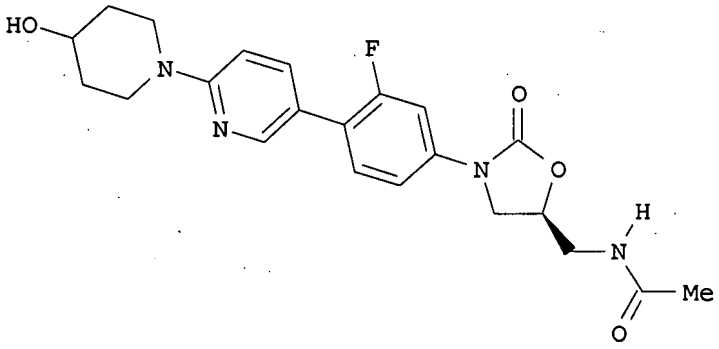

II

AB Title compds. I [R1 = OH, formamide, (un)substituted amine, etc.; X and Y independently = CH, CF or N; Q = (un)substituted heterocyclyl, heteroaryl, aryl, etc.], and their pharmaceutically acceptable salts, were prepared and disclosed as having antimicrobial activity. Thus, e.g., II was prepared by reduction of the corresponding oxopiperidine derivative (preparation given). Several microbial assays are described, e.g., selected I displayed antibacterial activity for Staphylococcus aureus ATCC 25923 equal to 0.5 to ≥ 8 mg/mL. Thus, the present invention provides novel oxazolidinone derivs., processes for making compds. as well as antimicrobial pharmaceutical compns. containing said derivs. as active ingredients and methods of treating microbial infections with the said derivs.

IT 95-14-7, 1H-Benzotriazole 98-88-4, Benzoyl chloride 107-19-7, Propargyl alcohol 108-00-9, N,N-Dimethylethylene diamine 288-32-4, Imidazole, reactions 288-36-8, 1H-1,2,3-Triazole 288-88-0, 1H-1,2,4-Triazole 288-94-8, 1H-Tetrazole 501-53-1 541-41-3, Ethyl chloroformate 623-47-2, Ethyl propiolate 683-57-8, Bromoacetamide 698-63-5, reactions 1759-53-1, Cyclopropanecarboxylic acid 3250-74-6 4076-36-2, 5-Methyl-1H-tetrazole 4418-61-5, 5-Aminotetrazole 4554-16-9, 2,3-Dibromopropionitrile 5777-20-8, 3-Hydroxyisoxazole 10365-98-7, 3-Methoxyphenylboronic acid 10400-19-8, Nicotinyl chloride 13183-79-4, 5-Mercapto-1-methyltetrazole 14389-12-9 16681-77-9, N-Methyltetrazole 16687-60-8, 5-(4-Nitrophenyl)tetrazole 18039-42-4, 5-Phenyltetrazole 18755-49-2, 1H-1,2,3-Triazole-5-carbonitrile 21871-47-6 24854-43-1 36855-39-7 66907-69-5, 5-Diethylamino-1H-tetrazole 67026-01-1 72866-60-5, 1-Chloroethylisocyanate 87199-15-3 104392-74-7 120855-12-1 133237-33-9 149524-45-8 172966-52-8 172966-94-8 186498-02-2 354780-64-6 487041-08-7 501939-82-8 501939-95-3 627543-15-1 648909-91-5 717123-28-9 724793-95-7 928160-23-0 928160-24-1 928160-25-2 928160-27-4 928160-29-6 928160-32-1 928160-34-3 928160-36-5 928160-39-8 928160-42-3 928160-44-5 928160-46-7 928160-48-9 928160-50-3 928160-62-7 928160-64-9 928160-65-0 928160-66-1 928160-67-2 928160-68-3 928160-69-4 928160-70-7 928160-71-8 928160-72-9 928160-73-0 928160-74-1 928160-75-2 928160-76-3 928160-77-4 928160-78-5 928160-79-6 928160-80-9 928160-81-0 928160-82-1 928160-83-2 928160-84-3 928160-85-4 928160-86-5 928160-87-6 928160-88-7 928160-89-8 928160-90-1 928160-91-2 928160-92-3 928160-93-4 928160-94-5 928160-95-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazolidinones possessing antimicrobial activity and pharmaceutical compns. thereof)

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:523447 CAPLUS
DOCUMENT NUMBER: 143:59964
TITLE: Preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy
INVENTOR(S): Deshpande, Prasad Keshav; Sindkhedkar, Milind Dattatraya; Phansalkar, Mahesh Shriram; Yeole, Ravindra Dattatrya; Gupte, Shrikant Vinayak; Chugh, Yati; Shetty, Nitin; Bhagwat, Sachin Subhash; De Souza, Noel John; Patel, Mahesh Vithalbhai
PATENT ASSIGNEE(S): Wockhardt Limited, India; Deshpande, Prasad, Keshav; Sindkhedkar, Milind, Dattatraya; Phansalkar, Mahesh, Shriram; Yeole, Ravindra, Dattatrya; Gupte, Shrikant, Vinayak; Bhagwat, Sachin, Subhash; De Souza, Noel, John; Patel, Mahesh, Vithalbhai
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054234	A2	20050616	WO 2004-IN276	20040908
WO 2005054234	A3	20050929		
WO 2005054234	A8	20061123		

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OM, PG, PH, PL, PT, RO, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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SN, TD, TG

IN 2003MU00924	A	20050715	IN 2003-MU924		20030908
US 2005143421	A1	20050630	US 2004-935708		20040907
AU 2004295208	A1	20050616	AU 2004-295208		20040908
CA 2537559	A1	20050616	CA 2004-2537559		20040908
EP 1664038	A2	20060607	EP 2004-817639		20040908
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BR 2004013413	A	20070109	BR 2004-13413		20040908
JP 2007505102	T	20070308	JP 2006-526008		20040908
PRIORITY APPLN. INFO.:			IN 2003-MU924	A	20030908
			WO 2004-IN276	W	20040908

OTHER SOURCE(S): MARPAT 143:59964

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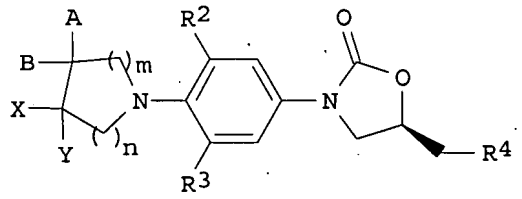

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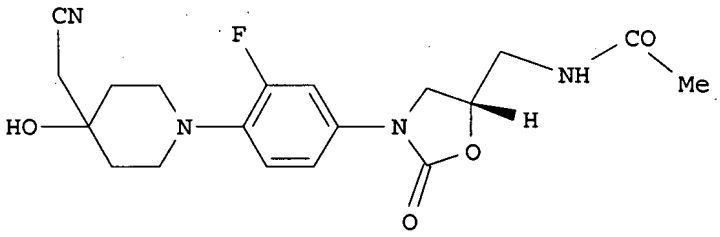


II

AB The present invention provides agents having antimicrobial activity for preventing and treating infectious diseases. Thus, the present invention provides novel substituted piperidino phenyloxazolidinone derivs. (shown as I; variables defined below; e.g. (S)-N-[[3-[4-(4-cyanomethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide (shown as II)), processes for making compds. as well as antimicrobial compns. containing said derivs. as active ingredients and methods of treating bacterial infections with the said derivs. MIC (μg/mL) and/or ED50 (mg/kg) values are tabulated for 5 types of bacteria. For I: m = 0-1 and n = 1-3; X = -CN, -OH, or halogen; Y = H (with the proviso that when Y is H, X is OH, F or CN), (un)substituted C1-C6 alkyl, C3-C6 cycloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heterocyclylamino, heterocyclylcarbonyl, cyano, halogen, (un)substituted amino, (un)substituted hydrazino; or X and Y together form a 3-membered carbocyclic ring or heterocyclic ring containing a hetero atom = O or S or X and Y together form an (un)substituted, (un)saturated 3 to 7 membered heterocyclic ring having 1-4 hetero atoms = N, O, S or includes the S atom of groups like sulfinyl or sulfonyl as a part of heterocyclic ring or X and Y together form O:. A, B = H, C1-C6 alkyl, or halogen; R2, R3 = H or halogen. R4 = C1-C6 alkylsulfonyloxy, alkylsulfonyloxyacetamido,

(un)substituted aminosulfonyloxy, alkyloxysulfonyloxy, arylsulfonyloxy, (un)substituted amino, azido, aminonitrilo, isocyanato, formamido, N-hydroxyformamido, substituted C1-C6 alkanoyloxy, (un)substituted C1-C6 alkylamido, (un)substituted C1-C6 alkylthiocarbonylamido, C1-C6 alkylsulfonamido; substituted arylsulfonamido, (un)substituted alkylcarbamato, (un)substituted ureido, (un)substituted five to six membered heterocyclyl ring, (un)substituted five to six membered heteroaryl ring, (un)substituted heteroaryloxy, (un)substituted heteroarylamino, or mercapto substituted by C1-C6 alkyl group; addnl. details including provisos are given in the claims. Methods of preparation are claimed and .apprx.180 example prepns. are included. For example, 51 % II was prepared by reacting (S)-N-[[3-[4-(1-oxa-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide with KCN in MeOH/DMF (1:5) at 25° for 14 h.

IT 371195-28-7P 648920-76-7P, [[(R)-3-[4-(4-Oxo-3-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 648921-06-6P, (S)-N-[[3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648921-25-9P, (R)-[[3-[4-(4-Oxopiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853795-07-0P, (S)-N-[[3-[4-(4-Methyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-09-2P, (S)-N-[[3-[4-(4-Fluoro-4-cyanomethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-11-6P, (S)-N-[[3-[4-(4-Cyanomethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-15-0P, (S)-N-[[3-[4-(4-Fluoro-4-azidomethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-19-4P, (S)-N-[[3-[4-(4-Fluoro-4-aminomethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-25-2P, (S)-N-[[3-[4-[4-[(N,N-Dimethylamino)methyl]-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-26-3P, (S)-N-[[3-[4-(4-Fluoro-4-hydroxymethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-27-4P, (S)-N-[[3-[4-(1-Oxa-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-29-6P, (S)-N-[[3-[4-[4-Hydroxy-4-(methoxymethyl)piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-31-0P, (S)-N-[[3-[4-(4-Ethoxymethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-37-6P, (S)-N-[[3-[4-(4-Cyano-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-46-7P, (S)-N-[[3-[4-[4-(Piperazinomethyl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-49-0P, (S)-N-[[3-[4-(4-Hydroxy-4-hydroxymethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-60-5P, (R)-[[3-[4-(1-Oxa-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853795-63-8P, (S)-N-[[3-[4-[4-(Phenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-64-9P, (S)-N-[[3-[4-[4-(2-Cyanophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-65-0P, (S)-N-[[3-[4-[4-(4-Cyanophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-66-1P, (S)-N-[[3-[4-[4-(3-Nitrophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-67-2P, (S)-N-[[3-[4-[4-(4-Nitrophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-68-3P, (S)-N-[[3-[4-[4-(2,3,4-Trifluorophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-71-8P, (S)-N-[[3-[4-[4-(Pyridin-3-ylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-72-9P, (S)-N-[[3-[4-[4-(2,4-Difluorophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-

oxooxazolidin-5-yl]methyl]ethanamide 853795-73-0P, (S)-N-[[3-[4-[4-(2-Methoxyphenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-75-2P, (S)-N-[[3-[4-[4-[(4-Methoxycarbonylphenyl)amino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-83-2P, (S)-N-[[3-[4-[4-(1,1-Dicyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-88-7P, N-[[(S)-3-[4-[4-[1-(Pyridin-3-yl)-1-cyanomethyl]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-96-7P, (S)-N-[[3-[4-[4-(2-Aminocarbonylhydrazino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-18-6P, N-[[(S)-3-[4-(1-Cyano-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-26-6P, (S)-N-[[3-[4-(1-Thia-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-28-8P, (S)-N-[[3-[4-(1-Oxa-7-azaspiro[3.5]nonan-7-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-30-2P, (S)-N-[[3-[4-(4,8-Diaza-1-thiaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-40-4P, (S)-N-[[3-[4-(8-Aza-1-thia-4-oxaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-98-2P 853797-09-8P 853797-17-8P, (S)-N-[[3-[4-(1-Oxa-4-thia-9-azaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

IT 172967-22-5P, (S)-N-[[3-[4-(4,8-Diaza-1-oxaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 252280-03-8P, (R)-3-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methoxy]isoxazole 648920-53-0P, (S)-N-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648920-98-3P, N-[[(S)-3-[4-(6-Methyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648921-04-4P, (R)-[[3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 648921-38-4P, (R)-[[3-[4-(4-Oxopiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] alcohol 853795-05-8P, (S)-N-[[3-[4-(4-Fluoro-4-methylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-13-8P, (S)-N-[[3-[4-[4-Fluoro-4-[(aminocarbonyl)methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-17-2P, (S)-N-[[3-[4-[4-Fluoro-4-[[(methylsulfonyl)oxy]methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-21-8P, (S)-N-[[3-[4-[4-Fluoro-4-[(N-acetylamino)methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-23-0P, (S)-N-[[3-[4-[4-Fluoro-4-[[(methylsulfonyl)amino]methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-24-1P, (S)-N-[[3-[4-[4-Fluoro-4-[(N,N-dimethylamino)methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-28-5P, (S)-N-[[3-[4-[4-Fluoro-4-(methoxymethyl)piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-30-9P, (S)-N-[[3-[4-[4-Fluoro-4-(ethoxymethyl)piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-32-1P, (S)-N-[[3-[4-(4-Fluoro-4-fluoromethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-33-2P, (S)-N-[[3-[4-(4-Fluoro-4-chloromethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-34-3P, (S)-N-[[3-[4-(4-Fluoro-4-iodomethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-35-4P, (S)-N-[[3-[4-(4,4-Difluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-36-5P, (S)-N-[[3-[4-[4-(2-Propyn-1-yl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-

oxooxazolidin-5-yl]methyl]ethanamide 853795-38-7P, (S)-N-[[3-[4-(4-Cyanomethyl-4-hydroxypiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-40-1P 853795-41-2P, (S)-N-[[3-[4-(4-Aminocarbonyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-42-3P, (S)-N-[[3-[4-[4-[(Aminocarbonyl)methyl]-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-43-4P, (S)-N-[[3-[4-(4-Azidomethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-44-5P, (S)-N-[[3-[4-(4-Nitromethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-45-6P, (S)-N-[[3-[4-[4-(1-Imidazolylmethyl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-47-8P, (S)-N-[[3-[4-[4-[1-(Morpholino)methyl]-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-48-9P, (S)-N-[[3-[4-[4-(4,4-Dimethylpiperazinomethyl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide iodide 853795-50-3P, (S)-N-[[3-[4-[4-Ethynyl-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-51-4P, cis-N-[[(S)-3-[4-(4-Trifluoromethyl-3-methyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-52-5P, trans-N-[[(S)-3-[4-(4-Trifluoromethyl-3-methyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-53-6P, N-[[(S)-3-[4-(4-Trifluoromethyl-3-methyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-54-7P, N-[[(S)-3-[4-(3,3,4-Trimethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-55-8P, N-[[(S)-3-[4-(4-Cyano-3,3-dimethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-56-9P, (S)-N-[[3-[4-(4-Azidomethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]methanesulfonamide 853795-58-1P, (R)-[[3-[4-[4-(Prop-2-en-1-yl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853795-59-2P, (R)-[[3-[4-(4-Hydroxymethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853795-61-6P, (R)-[[3-[4-[4-(3-Hydroxy-1-propyn-1-yl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853795-62-7P, (S)-N-[[3-[4-(4-Amino-4-cyanopiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-69-4P, (S)-N-[[3-[4-[4-(3-Aminophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-70-7P, (S)-N-[[3-[4-[4-(2-Mercaptophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-74-1P, (S)-N-[[3-[4-[4-(4-Methoxyphenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-76-3P, N-[[(S)-3-[4-[4-(4-Nitrophenylamino)-3-methyl-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-77-4P, N-[[(S)-3-[4-[4-(4-Nitrophenylamino)-3,3-dimethyl-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-78-5P, N-[[(S)-3-[4-[4-(2,3,4-Trifluorophenylamino)-3,3-dimethyl-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-79-6P, N-[[(S)-3-[4-[4-(2-Methoxyphenylamino)-3,3-dimethyl-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-80-9P, N-[[(S)-3-[4-[4-(Pyridin-3-ylamino)-3,3-dimethyl-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-81-0P, (S)-N-[[3-[4-[4-[(Phenylsulfonyl)amino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-82-1P, (S)-N-[[3-[4-(4-Cyanomethyl-4-cyanopiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-85-4P, N-[[(S)-3-[4-[4-(1-Phenyl-1-cyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-87-6P , N-[[(S)-3-[4-[4-(1-Carboxy-1-cyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-89-8P

, N-[[(S)-3-[4-[4-(1-Ethoxycarbonyl-1-cyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-90-1P, N-[[(S)-3-[4-[4-[1-(Morpholin-4-ylcarbonyl)-1-cyanomethyl]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-91-2P, (S)-N-[[3-[4-[4-[2-(4-Methoxyphenylcarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-92-3P, (S)-N-[[3-[4-[4-[2-(Furan-2-ylcarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-93-4P, (S)-N-[[3-[4-[4-[2-(Thiophen-2-ylcarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-94-5P, (S)-N-[[3-[4-[4-[2-(Pyridin-3-ylcarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-95-6P, (S)-N-[[3-[4-[4-[2-(Benzothiophen-3-ylcarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-97-8P, (S)-N-[[3-[4-[4-(2-Acetylhydrazino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-98-9P, (S)-N-[[3-[4-[4-(2-Methoxycarbonylhydrazino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-99-0P, (S)-N-[[3-[4-[4-(2-Methylsulfonylhydrazino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-00-6P, (S)-N-[[3-[4-[4-[2-(4-Methylphenylsulfonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-01-7P, (S)-N-[[3-[4-[4-[2-(Aminothiocarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-02-8P , (S)-N-[[3-[4-[4-(1,1-Dicyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-03-9P, (S)-N-[[3-[4-[4-[(4-Methoxycarbonylphenyl)amino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-04-0P, (S)-N-[[3-[4-[4-[(Ethoxycarbonyl)amino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-06-2P, (S)-N-[[3-[4-[4-(Phenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-07-3P, (S)-N-[[3-[4-[4-(2-Cyanophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-08-4P, (S)-N-[[3-[4-[4-(4-Cyanophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-09-5P, (S)-N-[[3-[4-[4-(2,3,4-Trifluorophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-10-8P, (S)-N-[[3-[4-[4-(2-Methoxyphenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-11-9P, (S)-N-[[3-[4-[4-(2,4-Difluorophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-12-0P, (S)-N-[[3-[4-[4-(3-Nitrophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-13-1P, (S)-N-[[3-[4-[4-(4-Nitrophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-14-2P, (S)-N-[[3-[4-[4-[2-(Aminothiocarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-15-3P, (S)-N-[[3-[4-[4-(Pyridin-3-ylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-16-4P, N-[[(S)-3-[4-[4-[1-(Pyridin-3-yl)-1-cyanomethyl]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-17-5P, N-[[(S)-3-[4-(1-Cyano-6-azaspiro[2.5]octan-6-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-19-7P, N-[[(S)-3-[4-((1R)-1-Cyano-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-20-0P, N-[[(S)-3-[4-((1S)-1-Cyano-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-22-2P, (S)-N-[[3-[4-(1,1-Dicyano-6-azaspiro[2.5]octan-6-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-23-3P, (S)-N-[[3-[4-(1,1-Dicyano-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-24-4P, N-[[(S)-3-[4-(1-Carboethoxy-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-

oxooxazolidin-5-yl]methyl]ethanamide 853796-25-5P, N-[[(S)-3-[4-(2-Carboethoxy-1-oxa-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-27-7P, N-[[(S)-3-[4-(1-Oxo-1-thia-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-29-9P, (S)-N-[[3-[4-(1-Thia-7-azaspiro[3.5]nonan-7-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-31-3P, N-[[(S)-3-[4-(1-Oxo-4,8-diaza-1-thiaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-32-4P 853796-33-5P, N-[[(S)-3-[4-(2-Methyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-34-6P, N-[[(S)-3-[4-[2-(N-Acetylaminomethyl)-1,4-dioxa-8-azaspiro[4.5]decan-8-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-36-8P, N-[[(S)-3-[4-[2-[[(Methylsulfonyl)amino]methyl]-1,4-dioxa-8-azaspiro[4.5]decan-8-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-37-9P, N-[[(S)-3-[4-[2-[[(Methylsulfonyl)oxy]methyl]-1,4-dioxa-8-azaspiro[4.5]decan-8-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-42-6P, N-[[(S)-3-[3-Fluoro-4-(1-oxo-8-aza-1-thia-4-oxaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-45-9P, (S)-N-[[3-[4-(1,1-Dioxo-8-aza-1-thia-4-oxaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-46-0P, [[(R)-3-[4-(2-Methyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853796-48-2P, (S)-N-[[3-[4-(2-Oxo-1-oxa-3,8-diazaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-50-6P, (S)-N-[[3-[4-(3-Methyl-2-oxo-1-oxa-3,8-diazaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-51-7P, (S)-N-[[3-[4-(1,3-Dioxa-8-azaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-55-1P, (S)-N-[[3-[4-(1,3-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-57-3P, N-[[(S)-3-[4-(4-Methyl-4,8-diaza-1-thiaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-59-5P, N-[[(S)-3-[4-(2-Methyl-1,3-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-60-8P, (S)-N-[[3-[4-(2,2-Dimethyl-1,3-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-61-9P, (S)-N-[[3-[4-(1-Oxa-3-thia-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-63-1P, (R)-[[3-[4-(1,3-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853796-65-3P, (S)-N-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-70-0P, N-[[(S)-3-[4-(6-Fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-72-2P, N-[[(S)-3-[4-(6-Fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-74-4P, N-[[(S)-3-[4-(6-Methyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-79-9P, (S)-N-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-2,2-dichloroethanamide 853796-81-3P, (S)-N-[[3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-2,2-dichloroethanamide 853796-84-6P, (S)-N-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-N-acetylethanamide 853796-86-8P, N-[[(R)-3-[4-(6-Fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]methanesulfonamide 853796-90-4P, (S)-N-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-93-7P, (S)-N-[[3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-95-9P, Methyl (S)-N-[[3-[4-(1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] carbonate 853796-96-0P 853796-97-1P, (R)-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-

yl]methyl] methanesulfonate 853797-00-9P, [[(R)-3-[4-(6-Fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-03-2P, S-[[(R)-3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] thioacetate 853797-04-3P, (S)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-4-carboethoxy-1,2,3-triazole 853797-05-4P, S-[[(R)-3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] thioacetate 853797-06-5P, (S)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-4-aminocarbonyl-1,2,3-triazole 853797-08-7P, (S)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-4-cyano-1,2,3-triazole 853797-10-1P, (S)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-5-carboethoxy-1,2,3-triazole 853797-11-2P, (S)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-5-aminocarbonyl-1,2,3-triazole 853797-12-3P, (S)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-5-cyano-1,2,3-triazole 853797-13-4P, (S)-N-[[3-[4-(2,4-Dioxa-9-azaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-14-5P, (S)-N-[[3-[4-(4-Methyl-1-oxa-4,9-diazaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-16-7P, (S)-N-[[3-[4-(1,4-Dioxa-9-azaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-18-9P, N-[[(S)-3-[4-(4-Oxo-1-oxa-4-thia-9-azaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-19-0P, (S)-N-[[3-[4-(1,6-Dioxa-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-21-4P

, (S)-N-[[3-[4-(1,4-Dioxa-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-22-5P, (S)-N-[[3-[4-(1-Oxa-5-thia-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-23-6P, (S)-N-[[3-[4-(1,5-Dithia-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-24-7P, N-[[(S)-3-[4-(1-Oxo-1,5-dithia-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-25-8P, (R)-[[3-[4-(4-Oxopiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-26-9P, [[(R)-3-[4-(4-Oxo-3-fluoropiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-28-1P, (R)-[[3-[4-(4-Hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-29-2P, (R)-[[3-[4-(4-Hydroxypiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-30-5P, [[(R)-3-[4-(4-Hydroxy-3-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-31-6P, [[(R)-3-[4-(4-Hydroxy-3-fluoropiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-32-7P, (S)-N-[[3-[4-[4-[(Cyclopropylamino)methyl]-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-33-8P, (S)-N-[[3-[4-(4-Methoxymethyl-4-hydroxypiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-34-9P, (S)-N-[[3-[4-(4-Azidomethyl-4-hydroxypiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-35-0P, (S)-N-[[3-[4-[4-[[(Methylsulfonyl)oxy]methyl]-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-36-1P, (R)-[[3-[4-[4-[[(Methylsulfonyl)oxy]methyl]-4-fluoropiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-39-4P, (S)-N-[[3-[4-(4-Hydroxypiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-40-7P, N-[[(S)-3-[4-(4-Hydroxy-3,3-dimethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-41-8P, (S)-N-[[3-[4-(4-Azidomethyl-4-hydroxypiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-43-0P, N-[[(S)-3-[4-[4-(1-Ethoxycarbonyl-1-cyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-

oxooxazolidin-5-yl]methyl]ethanethioamide 853797-44-1P, (S)-3-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]isoxazole 853797-45-2P, (R)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-4-cyano-1,2,3-triazole 853797-46-3P, (R)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-5-carboethoxy-1,2,3-triazole 853797-47-4P, (R)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-5-aminocarbonyl-1,2,3-triazole 853797-48-5P, (R)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-5-cyano-1,2,3-triazole 853797-49-6P, (S)-N-[[3-[4-(1,5-Dioxa-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853920-11-3P, [[(R)-3-[4-(2-Methyl-6-fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853920-12-4P, N-[[(S)-3-[4-(2-Methyl-6-fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853920-13-5P, [[(R)-3-[4-(2,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

IT 57-55-6, 2,3-Propanediol, reactions 62-53-3, Aniline, reactions 77-76-9, 2,2-Dimethoxypropane 90-04-0, 2-Methoxyaniline 98-10-2, Benzenesulfonamide 99-09-2, 3-Nitroaniline 100-01-6, 4-Nitroaniline, reactions 104-94-9, 4-Methoxyaniline 105-53-3, Diethyl malonate 105-56-6, (Ethoxycarbonyl)acetonitrile 108-45-2, 3-Aminoaniline, reactions 109-77-3, Malononitrile 109-80-8, 1,3-Propanedithiol 110-85-0, Piperazine, reactions 110-91-8, Morpholine, reactions 111-42-2, Diethanolamine, reactions 137-07-5, 2-Mercaptoaniline 140-29-4, Phenylacetonitrile 288-32-4, Imidazole, reactions 367-25-9, 2,4-Difluoroaniline 369-34-6, 3,4-Difluoronitrobenzene 372-09-8, Cyanoacetic acid 462-08-8, 3-Aminopyridine 504-63-2, 1,3-Propanediol 553-53-7, 3-Pyridinecarboxylic hydrazide 619-45-4, 4-Methoxycarbonylaniline 623-47-2, Ethyl propiolate 765-30-0, Cyclopropylamine 867-13-0 873-74-5, 4-Cyanoaniline 1576-35-8, (4-Methylphenylsulfonyl)hydrazine 1730-25-2, Allylmagnesium bromide 1885-29-6, 2-Cyanoaniline 2361-27-5, 2-Thiophenecarboxylic hydrazide 3290-99-1, 4-Methoxybenzoic hydrazide 3326-71-4, 2-Furancarboxylic hydrazide 3862-73-5, 2,3,4-Trifluoroaniline 4530-20-5, N-(tert-Butyloxycarbonyl)glycine 5777-20-8, 3-Hydroxyisoxazole 6117-80-2 6443-85-2, Pyridin-3-ylacetonitrile 6542-60-5, Cyclopropylacetonitrile 15029-32-0, (Morpholin-4-ylcarbonyl)acetonitrile 19721-22-3, 3-Mercaptopropan-1-ol 35570-01-5 60456-26-0, (R)-(-)-Glycidyl butyrate 78676-34-3, 3-Benzothiophenecarboxylic hydrazide 172966-53-9, (S)-N-[[3-[3-Fluoro-4-(4-oxopiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 172966-59-5, (S)-N-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 172967-24-7, (R)-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] alcohol 648920-49-4, (R)-[[3-[4-(4-Oxopiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl] alcohol 648920-54-1, (S)-N-[[3-[4-(4-Oxopiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648920-68-7, N-[[(S)-3-[4-(4,4-Dimethoxy-3-fluoropiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648920-75-6, [[(R)-3-[4-(4,4-Dimethoxy-3-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 648920-80-3, N-[[(S)-3-[4-(4,4-Dimethoxy-3-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648920-99-4, N-[[(S)-3-[3-Fluoro-4-(4-oxo-3-methylpiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648921-07-7, (S)-N-[[3-[3-Fluoro-4-(4-oxo-3,3-dimethylpiperidin-1-

yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853794-97-5, (S)-[[3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] azide 853794-99-7, (S)-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] azide 853795-39-8, (S)-N-[[3-[4-(1-Oxa-6-azaspiro[2.5]octan-6-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-57-0, (S)-N-[[3-[4-(4-Oxopiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]methanesulfonamide 853795-84-3, (S)-N-[3-[4-[4-(1,1-Dicyanomethylidene)piperidin-1-yl]-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]ethanamide 853795-86-5, (S)-N-[3-[4-(4-Oxopiperidin-1-yl)-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]ethanamide 853796-05-1, (S)-N-[[3-[4-[4-[(Ethoxycarbonyl)amino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-35-7, N-[[(S)-3-[4-(2-Aminomethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-38-0, N-[[(S)-3-[4-(2-Hydroxymethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-53-9, (S)-N-[[3-[4-(4-Hydroxy-4-hydroxymethylpiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-67-5, (S)-N-[[3-[4-(4-Oxopiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-88-0, [[(S)-3-[4-(6-Fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]amine 853796-99-3, (R)-[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl alcohol 853797-01-0, [(R)-3-[4-(6-Fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl alcohol 853797-02-1, (R)-[3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl alcohol 853797-07-6, (R)-1-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]-4-carboethoxy-1,2,3-triazole 853797-15-6, (S)-N-[[3-[4-(1-Oxa-4,9-diazaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853797-27-0, [[(R)-3-[4-(4,4-Dimethoxy-3-fluoropiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-37-2, (R)-[[3-[4-(4-Hydroxymethyl-4-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-38-3, [[(R)-3-[4-(4-Oxo-3-methylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853797-42-9, (S)-N-[[3-[4-(1-Oxa-6-azaspiro[2.5]octan-6-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

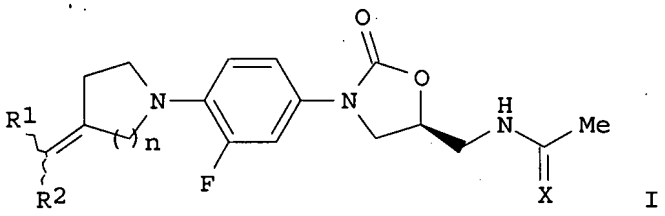
IT 172967-26-9P, (S)-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]amine 596136-34-4P, (S)-N-[[3-[4-(4-Cyanomethylidenepiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648909-88-0P, (S)-N-[[3-[4-(4-Cyanomethylidenepiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648919-89-5P, (S)-N-[[3-[4-[4-(1,1-Dicyanomethylidene)piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648920-50-7P, (R)-[[3-[4-(4-Oxopiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 648920-69-8P, N-[[(S)-3-[4-(4-Oxo-3-fluoropiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648920-81-4P, N-[[(S)-3-[4-(4-Oxo-3-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 685570-77-8P, (S)-N-[[3-[4-[4-(1-Carboethoxymethylidene)piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853794-82-8P, (S)-N-[[3-[4-[4-(1,1-Dicyanomethylidene)piperidin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853794-95-3P, (S)-[[3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]amine 853797-20-3P, (S)-N-[[3-[4-(1,6-Dioxa-10-azaspiro[6.5]dodec-3-en-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:372882 CAPLUS
DOCUMENT NUMBER: 140:391269
TITLE: Preparation of methylidene oxazolidinone compounds as antimicrobial agents and preparation method thereof
INVENTOR(S): Koh, Hun Yeong; Cho, Yong Seo; Pae, Ae Nim; Cha, Joo Hwan; Kim, Hye Yeon; Lee, Jae Seok; Kim, Hak Soo; Kim, Sanghee
PATENT ASSIGNEE(S): Korea Institute of Science and Technology, S. Korea
SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004087633	A1	20040506	US 2003-694843	20031029
KR 2004037686	A	20040507	KR 2002-66268	20021029
PRIORITY APPLN. INFO.:			KR 2002-66268 A	20021029

OTHER SOURCE(S): CASREACT 140:391269; MARPAT 140:391269
GI



I

AB 3-[4-(3-Methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone compds. represented by formula (I) or pharmaceutically acceptable salt thereof (R1 and R2 independently represent H, cyano, alkyl, halogen, acetoxy, ethoxycarbonyl, hydroxy, hydroxyimino, methoxyimino, aminoethyl, or a unsatd. 5-membered heterocyclic substituent containing one or more hetero atoms selected from the group consisting of O, N and S; n represents an integer 1 or 2),showing superior antimicrobial activities against gram-pos. germs including resistant strains such as methicillin-resistant staphylococcus aureus and vancomycin-resistant enterococcus, are prepared Also disclosed is a preparation method thereof. These compds. showing superior antimicrobial activities against gram-pos. germs including resistant strains such as methicillin-resistant staphylococcus aureus and vancomycin- resistant enterococcus. For example, N-[[(5S)-3-[3-fluoro-4-[4-(cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide showed min. inhibitory concentration of 0.5 μg/mL against vancomycin-resistant Staphylococcus pyogenes C6487 vs. 2 and >32 μg/mL for linezolid and vancomycin, resp.

IT 596136-34-4P, N-[[(5S)-3-[3-Fluoro-4-(4-cyanomethylidenepiperidin-1-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-84-7P, N-[[(5S)-3-[3-Fluoro-4-[4-(2-oxoethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-92-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of 3-[4-(3-methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

IT 685570-69-8P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyano-4-hydroxybutylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 3-[4-(3-methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

IT 596136-10-6P, N-[[(5S)-3-[3-Fluoro-4-[3-(dicyanomethylidene)pyrrolidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 596136-11-7P 596136-12-8P 596136-13-9P 648919-28-2P, N-[[(5S)-3-[3-Fluoro-4-[4-(cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide 648919-57-7P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyanoethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 648919-89-5P, N-[[(5S)-3-[3-Fluoro-4-[4-(dicyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 648919-97-5P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-ethoxycarbonyl-1-cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-67-6P 685570-68-7P, N-[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-2-(ethoxycarbonyl)ethylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-70-1P, N-[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-4-(methanesulfonyloxy)butylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-73-4P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyano-4-aminobutylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide 685570-74-5P, N-[[(5S)-3-[3-Fluoro-4-[4-[[3-(thiophen-2-yl)-5-isoxazolyl]methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-76-7P, N-[[(5S)-3-[3-Fluoro-4-[4-[4-[3-(3-methylisothiazol-4-yl)isoxazol-5-yl]methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-77-8P, N-[[(5S)-3-[3-Fluoro-4-[4-[(ethoxycarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-78-9P, N-[[(5S)-3-[3-Fluoro-4-[4-(methylcarbonylmethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-79-0P, N-[[(5S)-3-[3-Fluoro-4-[4-[1-(ethoxycarbonyl)ethylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-80-3P, N-[[(5S)-3-[3-Fluoro-4-(4-carboxymethylidenepiperidin-1-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-82-5P, N-[[(5S)-3-[3-Fluoro-4-[4-[1-chloro-1-(ethoxycarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-88-1P 685570-89-2P 685570-90-5P 685570-91-6P 685570-93-8P 685570-94-9P 685570-95-0P 685570-96-1P, N-[[(5S)-3-[3-Fluoro-4-[3-(dicyanomethylidene)pyrrolidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685570-97-2P 685570-98-3P 685570-99-4P 685571-00-0P, N-[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-2-(ethoxycarbonyl)ethylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-01-1P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-ethoxycarbonyl-1-cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-02-2P, N-[[(5S)-3-[3-Fluoro-4-(4-cyanomethylidenepiperidin-1-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-03-3P, N-[[(5S)-3-[3-Fluoro-4-[4-[[3-(thiophen-2-yl)-5-isoxazolyl]methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-04-4P, N-[[(5S)-3-[3-Fluoro-4-[4-[4-[3-(3-methylisothiazol-4-yl)isoxazol-5-yl]methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-05-5P,

N-[[(5S)-3-[3-Fluoro-4-[4-[(ethoxycarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-06-6P, N-[[(5S)-3-[3-Fluoro-4-[4-[(methylcarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-07-7P, N-[[(5S)-3-[3-Fluoro-4-[4-[1-(ethoxycarbonyl)ethylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-08-8P, N-[[(5S)-3-[3-Fluoro-4-(4-carboxymethylidenepiperidin-1-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-09-9P, N-[[(5S)-3-[3-Fluoro-4-[4-[1-chloro-1-(ethoxycarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-10-2P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyanoethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-11-3P, N-[[(5S)-3-[3-Fluoro-4-[4-(2-oxoethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-12-4P 685571-13-5P 685571-14-6P 685571-15-7P 685571-16-8P 685571-17-9P 685571-18-0P 685571-19-1P 685571-20-4P, N-[[(5S)-3-[3-Fluoro-4-[4-(cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-[4-(3-methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

IT 685570-71-2P, N-[[(5S)-3-[3-Fluoro-4-[4-(1-cyano-4-azidobutylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(reactant; preparation of 3-[4-(3-methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

IT 75-36-5, Acetyl chloride 79-04-9, Chloroacetyl chloride 79-36-7, Dichloroacetyl chloride 105-56-6, Ethyl cyanoacetate 106-95-6, Allyl bromide, reactions 109-77-3, Malononitrile 124-63-0, Methanesulfonyl chloride 593-56-6, Methoxyamine hydrochloride 867-13-0, Triethyl phosphonoacetate 1067-71-6, Diethyl 2-oxopropylphosphonate 2537-48-6, Diethyl cyanomethylphosphonate 3095-95-2, Diethylphosphonoacetic acid 5470-11-1, Hydroxylamine hydrochloride 7071-12-7 10123-62-3, Diethyl (2-cyanoethyl)phosphonate 19766-89-3, Sodium 2-ethylhexanoate 132424-98-7 172966-53-9, N-[[(5S)-3-[3-Fluoro-4-(4-oxopiperidin-1-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 596136-40-2, Diethyl [[3-(3-methylisothiazol-4-yl)-5-isoxazolyl]methyl]phosphonate 685570-66-5, N-[[3-[3-Fluoro-4-(3-oxopyrrolidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 685570-72-3 685570-75-6, Diethyl [3-(2-thiophenyl)-5-isoxazolylmethyl]phosphonate 685570-81-4, N-[[(5S)-3-[3-Fluoro-4-[4-[(allyloxycarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-83-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 3-[4-(3-methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

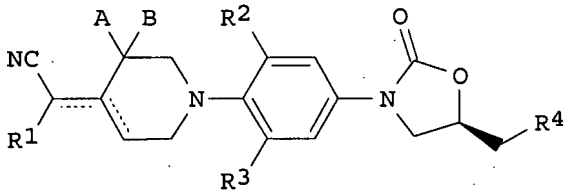
L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:60503 CAPLUS
DOCUMENT NUMBER: 140:128407
TITLE: Preparation of (piperidinophenyl)oxazolidinones targeting multiple ribonucleoprotein sites as antibacterial agents
INVENTOR(S): Patel, Mahesh V.; Deshpande, Prasad K.; Sindkhedkar, Milind D.; Gupte, Shrikant V.; Chugh, Yati; Shetty,

Nitin; Shukla, Milind C.; Yeole, Ravindra D.; De Souza, Noel J.
PATENT ASSIGNEE(S): India
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007489	A2	20040122	WO 2003-IN238	20030710
WO 2004007489	A3	20040318		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492194	A1	20040122	CA 2003-2492194	20030710
AU 2003272071	A1	20040202	AU 2003-272071	20030710
EP 1565461	A2	20050824	EP 2003-753912	20030710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
IN 2005MN00003	A	20070504	IN 2005-MN3	20050104

PRIORITY APPLN. INFO.: US 2002-395164P P 20020711
WO 2003-IN238 W 20030710

OTHER SOURCE(S): MARPAT 140:128407
GI



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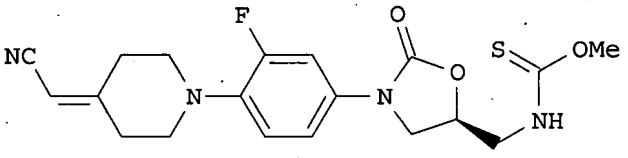

II

AB Title compds. I [wherein R1 = H, (un)substituted (cyclo)alkyl, (un)substituted alkanoyl, arylmercapto, heterocyclylthiocarbonyl, etc.; R2, R3 = H, halogen; R4 = alkylsulfonyloxy, (un)substituted alkylthiocarbonylamino, (un)substituted ureido, carbamato, etc.; A, B = independently selected from H, alkyl, CO2Et, halogen; and salts or solvates thereof] were prepared as antibacterial agents. For example, reaction of (S)-N-[3-[4-(4-cyanomethylidenepiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]amine with carbon disulfide (69%), followed by addition of sodium methoxide, gave II in 77% yield. II showed 1.56-6.25 μg/mL (MIC) against linezolid resistant strains, such as S. aureus MRSA-32, S. pneumoniae SPN744 and E. faecium 367, 0.2 μg/mL (MIC)

against linezolid sensitive strains, such as S. aureus MRSA-32 and S. pneumoniae 49619, 1.56 (MPC) for the treatment of E. faecalis 416 infection, and etc. 3D quant. structure activity relationship (3D-QSAR) showed that the steric contributions of I are over one and half times more than the electrostatic contributions, compared to literature compds. Thus, I and their pharmaceutical compds. targeting multiple ribonucleoprotein sites are useful as antimicrobial agents for treating bacterial infections.

IT 596136-34-4P 648909-90-4P 648918-89-2P
648918-90-5P 648918-93-8P 648918-97-2P
648919-00-0P 648919-01-1P 648919-02-2P
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648920-26-7P 648920-42-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting multiple RNA sites as antibacterial agents)

IT 648909-86-8P 648909-88-0P 648909-93-7P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting multiple RNA sites as antibacterial agents)

IT 56-41-7, L-Alanine, reactions 56-45-1, L-Serine, reactions 71-00-1, L-Histidine, reactions 79-03-8, Propionyl chloride 105-56-6 107-91-5 108-00-9 108-23-6, Isopropyl chloroformate 109-77-3, Propanedinitrile 140-29-4, Phenylacetonitrile 147-85-3, L-Proline, reactions 350-46-9, 4-Fluoronitrobenzene 372-09-8, 2-Cyanoacetic acid 501-53-1, Benzyl chloroformate 543-27-1, Isobutyl chloroformate 623-47-2, Ethyl propiolate 658-99-1, 3,4-Difluorophenylacetonitrile 2537-48-6, Diethylcyanomethyl phosphonate 2739-97-1, Pyridin-2-ylacetonitrile 3282-30-2, Pivaloyl chloride 4629-78-1, 3-Methyl-4-piperidinone hydrochloride 5219-61-4 5777-20-8, 3-Hydroxyisoxazole 6542-60-5, Cyclopropylacetonitrile 7065-46-5, 3,3-Dimethylbutyryl chloride 14227-95-3 15029-32-0 15029-37-5 15029-38-6 20893-30-5, 2-Thiopheneacetonitrile 25881-86-1 29668-61-9, Diethyl (1-cyanoethyl)phosphonate 30764-67-1 34491-76-4 34491-78-6 34491-79-7 35120-10-6, Methylthioacetonitrile 41979-39-9, 4-Piperidone hydrochloride 60456-26-0, (R)-Glycidyl butyrate 81606-79-3, 1H-1,2,4-Triazole-1-acetonitrile 82949-05-1 98873-55-3, 1-Imidazolylacetonitrile 101010-74-6, 2-Thiazoleacetonitrile 268209-11-6 334993-98-5 439097-58-2 648909-87-9
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RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting multiple RNA sites as antibacterial agents)

IT 23499-01-6P 79421-42-4P 172966-53-9P 590421-70-8P
648910-05-8P 648920-47-2P 648920-48-3P 648920-49-4P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting multiple RNA sites as antibacterial agents)

L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:60502 CAPLUS
DOCUMENT NUMBER: 140:128406

TITLE: Preparation of (piperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages

INVENTOR(S): Chugh, Yati; Shetty, Nitin; Deshpande, Prasad K.; Sindkhedkar, Milind D.; Jafri, Mohammad A.; Yeole, Ravindra D.; Shukla, Milind C.; Gupte, Shrikant V.; Patel, Mahesh V.; De Souza, Noel J.

PATENT ASSIGNEE(S): India

SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007488	A2	20040122	WO 2003-IN237	20030710
WO 2004007488	A3	20040318		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2003MU00392	A	20050211	IN 2003-MU392	20030421
CA 2492743	A1	20040122	CA 2003-2492743	20030710
AU 2003274676	A1	20040202	AU 2003-274676	20030710
US 2004063954	A1	20040401	US 2003-616888	20030710
EP 1546140	A2	20050629	EP 2003-758645	20030710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004235900	A1	20041125	US 2003-475735	20031023

PRIORITY APPLN. INFO.:
US 2002-395164P P 20020711
IN 2003-MU392 A 20030421
WO 2003-IN237 W 20030710

OTHER SOURCE(S): CASREACT 140:128406; MARPAT 140:128406

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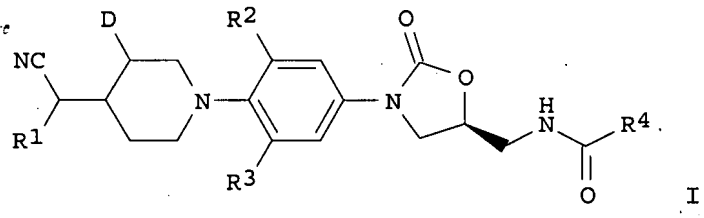

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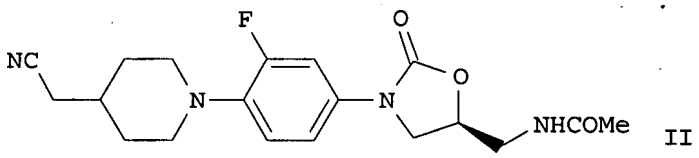

II

AB Title compds. I•A [wherein R1 = H, (un)substituted alkyl, CO2H, CN; R2, R3 = H, F; R4 = (un)substituted alkyl, alkoxy; D = H, alkyl, F; A =

absent or a complex forming agent, organic base, amino acid] were prepared as antimicrobial agents. For example, reduction of N-[[(S)-3-[4-(4-cyanomethylidenepiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]acetamide with 10% Pd/C afforded II in 89% yield. II showed 1.0-2.0 μg/mL (MIC) against S. aureus MRSA-32, E. faecalis ATCC 29212 and S. pneumoniae ATCC 49619, good pharmacokinetic values, such as a higher 12-h blood level and a longer half-life than the control, and no toxicity. Thus, I and their pharmaceutical compds. have an improved pharmacokinetic profile and no toxicity in use as antimicrobial agents for preventing and treating bacterial infections in once-a-day doses.

IT 648910-08-1P 648910-09-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(complex with 3-HP-β-CD; preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

IT 648909-87-9P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

IT 648909-91-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

IT 648909-85-7P 648909-89-1P 648909-92-6P
648909-94-8P 648909-96-0P 648909-97-1P
648909-98-2P 648909-99-3P 648910-00-3P
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648910-07-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

IT 156969-96-9 596136-34-4 648909-86-8
648909-88-0 648909-90-4 648909-93-7
648909-95-9 648919-14-6 648919-22-6
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653597-47-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

L3 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:442768 CAPLUS
DOCUMENT NUMBER: 139:245931
TITLE: Synthesis and in vitro activity of new methylenepiperidinyl and methylenepyrrolidinyl oxazolidinone antibacterial agents
AUTHOR(S): Kim, Hye Yeon; Lee, Jae Seok; Cha, Joo Hwan; Pae, Ae Nim; Cho, Yong Seo; Chang, Moon Ho; Koh, Hun Yeong
CORPORATE SOURCE: Biochemicals Research Center, Korea Institute of Science and Technology, Cheongryang, Seoul, 130-650, S. Korea
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003),

13(13), 2227-2230
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:245931
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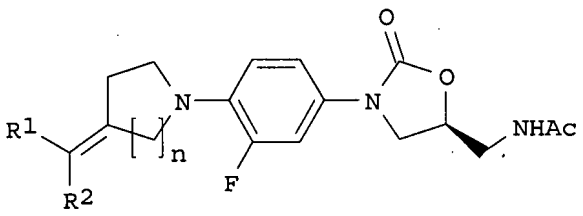

I

AB A series of substituted methylenepiperidinyl and methylenepyrrolidinyl oxazolidinones I (R1 = H, Me, CN; R2 = CN, CO2Et, COMe, CHO, etc.) have been prepared and evaluated for antibacterial activity against several gram-pos. strains, including the resistant strains of Staphylococcus and Enterococcus, such as MRSA, CRSA, MSSA and VRE. Some of them showed comparable or superior in vitro activities (MIC) to vancomycin.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 596136-10-6P 596136-11-7P 596136-12-8P 596136-13-9P 596136-14-0P
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596136-26-4P 596136-27-5P 596136-28-6P 596136-29-7P 596136-30-0P
596136-31-1P 596136-32-2P 596136-33-3P 596136-34-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and in vitro activity of [(methylenepiperidinyl) or (methylenepyrrolidinyl)fluorophenyl]oxazolidinone antibacterial agents)

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